

REC'D 03 JUN 2004

WIPO

PCT

**PCT**
**INTERNATIONAL PRELIMINARY EXAMINATION REPORT**  
(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 5-70137A	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP 03/11218	International filing date (day/month/year) 09.10.2003	Priority date (day/month/year) 10.10.2002

International Patent Classification (IPC) or both national classification and IPC  
C07C259/06

Applicant

~ YNGENTA PARTICIPATIONS AG et al

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
  - This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of 3 sheets.
3. This report contains indications relating to the following items:
  - I  Basis of the opinion
  - II  Priority
  - III  Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
  - IV  Lack of unity of invention
  - V  Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
  - VI  Certain documents cited
  - VII  Certain defects in the international application
  - VIII  Certain observations on the international application

Date of submission of the demand 04.05.2004	Date of completion of this report 01.06.2004
Name and mailing address of the international preliminary examining authority:   European Patent Office - Gitschner Str. 103 D-10958 Berlin Tel. +49 30 25901 - 0 Fax: +49 30 25901 - 840	Authorized Officer  Rufet, J Telephone No. +49 30 25901-332



**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/EP 03/11218

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-49 as originally filed

**Claims, Numbers**

6 (part), 7-12 as originally filed  
1-5, 6 (part) received on 04.05.2004 with letter of 26.04.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5.  This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/EP 03/11218

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes:	Claims	1-12
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-12
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-12
	No:	Claims	

**2. Citations and explanations**

**see separate sheet**

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP03/11218

**Re Item V**

**Reasoned statement under Article 35(2) with regard to novelty, inventive step or  
industrial applicability; citations and explanations supporting such statement**

- D1: WO 96/17840 cited in the application
- D2: WO 95/30651 cited in the application
- D3: DE 43 19 887 = WO9429267 cited in the application
- D4: EP-A-0 398 072 cited in the application
- D5: US-A-3 236 889

**1. Novelty**

Document D1 discloses structurally very close compounds according to formula (I) as claimed, which have an alkylether group instead of a propargylether group in their structure (see D1, compounds n° 100, 104-108, 110-113, etc. and example 14, compounds 14.1-14.3).

Documents D2-D5 refer to structurally different fungicides, which do not have the rest - X-NH-C(O)- according to formula (I) as claimed.

Claims 1-12 meet the criteria of Art. 33 (2) PCT.

**2. Inventive step**

2.1 According to the application (see especially page 1, I. 7-11) the problem underlying the invention is the provision of compounds having an improved fungicidal activity if compared to the compounds of the prior art D1-D4 cited in the application.

2.2 D1 represents the closest prior art, since it refers to structurally very close compounds also useful as fungicides.

2.3 It is stressed that the application does not contain any comparative data showing that the abovementioned problem has actually been solved by the technical features of the claimed compounds.

2.4 Consequently the problem underlying the present application should be seen in the provision of a **further** fungicides.

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

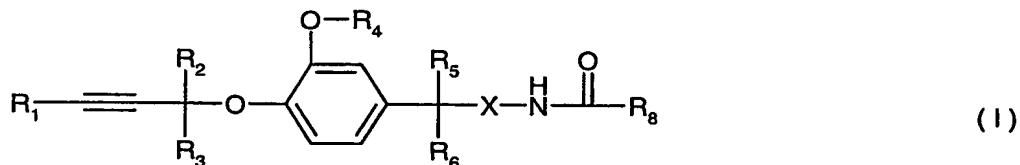
International application No. PCT/EP03/11218

2.5 In view of the examples and the biological examples of page 49 it is credible that this problem has actually been solved by the technical features of claim 1.

2.6 The proposed solution is in view of the teaching of D1-D5 considered as surprising. The skilled person looking for further fungicides and starting from the closest prior art D1 (see especially p. 33, example 14, compounds 14.1, 14.2 or 14.3) would not have been led to replace the (m)ethoxy group with a propargyloxy group since there is no indication in D1 nor D2-D5 that the propargyloxy group and the alkoxy group are bioisosteric substituents.

What is claimed is:

## 1. A compound of formula I



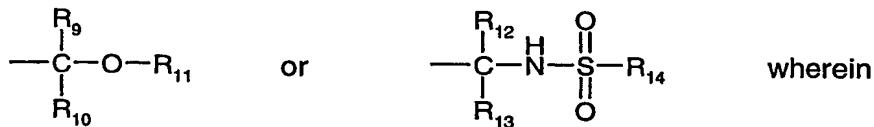
including the optical isomers thereof and mixtures of such isomers, wherein  
 $R_1$  is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl or optionally substituted aryl;

$R_2$ ,  $R_3$ ,  $R_5$ ,  $R_6$ , and  $R_7$  are each independently of each other hydrogen or optionally substituted alkyl;

$R_4$  is optionally substituted alkyl;

$X$  is O or N- $R_7$ ; and

$R_8$  is a group



$R_9$  is optionally substituted aryl or optionally substituted heteroaryl;

$R_{10}$  and  $R_{11}$  are each independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl or optionally substituted alkynyl;

$R_{12}$  is optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl or optionally substituted heteroaryl;

$R_{13}$  is hydrogen or optionally substituted alkyl, alkenyl or alkynyl; and

$R_{14}$  is optionally substituted alkyl or optionally substituted amino.

2. A compound according to claim 1 wherein  $R_{10}$  is hydrogen or alkyl,  $X$  is oxygen,  $R_8$  is  $-C(R_9R_{10})-OR_{11}$  and  $R_{11}$  is hydrogen or alkynyl.

3. A compound according to claim 1 wherein  $X$  is oxygen,  $R_8$  is  $-C(R_{12}R_{13})NH-SO_2-R_{14}$ , and  $R_{12}$  is alkyl or branched alkyl.

4. A compound of formula I according to any of claims 1 to 3, wherein

REPLACED BY  
ART 34 AMDT

$R_1$  is hydrogen, alkyl, cycloalkyl, phenyl or naphthyl; phenyl and naphthyl being optionally substituted by substituents selected from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenylalkyl, where all these groups may in turn be substituted by one or several halogens; alkoxy; alkenyloxy; alkynyoxy; alkoxy-alkyl; haloalkoxy; alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; halogen; cyano; nitro; amino; alkylamino; dialkylamino; carboxy; alkoxycarbonyl; alkenyloxycarbonyl; or alkynylloxycarbonyl; and  $R_4$  is alkyl; and  $R_8$  is a group  $-C(R_9R_{10})-OR_{11}$ ,  $R_9$  is aryl or heteroaryl, each optionally substituted by substituents selected from to group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenylalkyl, where all these groups may be substituted by one or several halogens; alkoxy, alkenyloxy, alkynyoxy; alkoxy-alkyl; haloalkoxy; alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; halogen; cyano; nitro; amino; alkylamino; dialkylamino; carboxy; alkoxycarbonyl; alkenyloxycarbonyl and alkynyoxy; and  $R_{11}$  is hydrogen; alkyl or alkynyl; or  $R_8$  is a group  $-C(R_{12}R_{13})NH-SO_2-R_{14}$ , and  $R_{14}$  is alkyl or alkylamino.

5. A compound of formula I according to any of claims 1 to 4, wherein

$R_1$  is hydrogen,  $C_1-C_8$ -alkyl,  $C_3-C_8$ -cycloalkyl; and  $R_2$ ,  $R_3$ ,  $R_5$  and  $R_6$  are hydrogen; and  $R_4$  is  $C_1-C_6$ -alkyl; and  $R_9$  is phenyl, naphthyl, 1,3-biphenyl or 1,4-biphenyl, each optionally substituted by one to three substituents selected from the group comprising  $C_1-C_8$ -alkyl,  $C_2-C_8$ -alkenyl,  $C_2-C_8$ -alkynyl,  $C_1-C_8$ -haloalkyl,  $C_1-C_8$ -alkoxy,  $C_1-C_8$ -haloalkoxy,  $C_1-C_8$ -alkylthio,  $C_1-C_8$ -haloalkylthio,  $C_1-C_8$ -alkylsulfonyl, halogen, cyano, nitro and  $C_1-C_8$ -alkoxycarbonyl; and  $R_{10}$  is hydrogen or  $C_1-C_4$ -alkyl; and  $R_{11}$  is hydrogen,  $C_1-C_8$ -alkyl or  $C_2-C_8$ -alkynyl; and  $R_{12}$  is  $C_1-C_8$ -alkyl,  $C_3-C_8$ -cycloalkyl,  $C_3-C_8$ -alkenyl,  $C_3-C_8$ -alkynyl; phenyl or benzyl wherein the phenyl and benzyl is optionally substituted by one to three substituents selected from the group comprising  $C_1-C_8$ -alkyl,  $C_2-C_8$ -alkenyl,  $C_2-C_8$ -alkynyl,  $C_1-C_8$ -haloalkyl,  $C_1-C_8$ -alkoxy,  $C_1-C_8$ -haloalkoxy,  $C_1-C_8$ -alkylthio,  $C_1-C_8$ -haloalkylthio,  $C_1-C_8$ -alkylsulfonyl, halogen, cyano, nitro and  $C_1-C_8$ -alkoxycarbonyl; and  $R_{13}$  is hydrogen or  $C_1-C_4$ -alkyl; and  $R_{14}$  is  $C_1-C_6$ -alkyl;  $C_1-C_6$ -monoalkylamino or  $C_1-C_6$ -dialkylamino.

6. A compound of formula I according to any of claims 1 to 5, wherein  $R_1$  is hydrogen or  $C_1-C_6$ -alkyl, and  $R_2$ ,  $R_3$ ,  $R_5$  and  $R_6$  are hydrogen; and  $R_4$  is methyl or ethyl; and  $R_9$  is phenyl or naphthyl each optionally substituted by one to three substituents selected from the group comprising  $C_1-C_6$ -alkyl,  $C_1-C_6$ -haloalkyl,  $C_1-C_6$ -alkoxy,  $C_1-C_6$ -haloalkoxy,  $C_1-C_6$ -alkylthio,  $C_1-C_6$ -haloalkylthio, halogen, cyano, nitro and  $C_1-C_6$ -alkoxycarbonyl; and  $R_{10}$  and  $R_{13}$  are

REPLACED BY  
FIG. 2A AND T